

07/02/2005

10608949d.trn

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PASSWORD:

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* * * * * * * * * Welcome to STN International * * * * * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
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NEWS 3 SEP 01 New pricing for the Save Answers for SciFinder Wizard within STN Express with Discover!
NEWS 4 OCT 28 KOREAPAT now available on STN
NEWS 5 NOV 30 PHAR reloaded with additional data
NEWS 6 DEC 01 LISA now available on STN
NEWS 7 DEC 09 12 databases to be removed from STN on December 31, 2004
NEWS 8 DEC 15 MEDLINE update schedule for December 2004
NEWS 9 DEC 17 ELCOM reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS 10 DEC 17 COMPUAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS 11 DEC 17 SOLIDSTATE reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS 12 DEC 17 CERAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS 13 DEC 17 THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB
NEWS 14 DEC 30 EPFULL: New patent full text database to be available on STN
NEWS 15 DEC 30 CAPLUS - PATENT COVERAGE EXPANDED
NEWS 16 JAN 03 No connect-hour charges in EPFULL during January and February 2005
NEWS 17 JAN 26 CA/CAPLUS - Expanded patent coverage to include the Russian Agency for Patents and Trademarks (ROSPATENT)

NEWS EXPRESS JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS INTER General Internet Information
NEWS LOGIN Welcome Banner and News Items
NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

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* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 08:46:13 ON 07 FEB 2005

=>

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n) :

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Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 08:46:26 ON 07 FEB 2005

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STRUCTURE FILE UPDATES: 6 FEB 2005 HIGHEST RN 826990-02-7

DICTIONARY FILE UPDATES: 6 FEB 2005 HIGHEST RN 826990-02-7

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

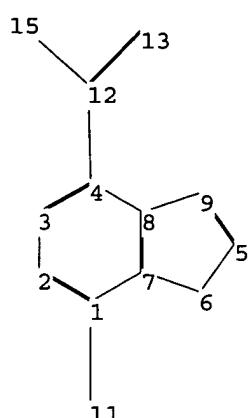
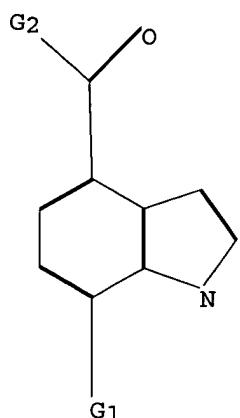
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10608949d.str

07/02/2005

10608949d.trn



chain nodes :

11 12 13 15

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

1-11 4-12 12-13 12-15

ring bonds :

1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9

exact/norm bonds :

1-11 5-6 6-7 12-13 12-15

exact bonds :

4-12 5-9 8-9

normalized bonds :

1-2 1-7 2-3 3-4 4-8 7-8

isolated ring systems :

containing 1 :

G1:X.Cv.Ak

G2:O,N

Match level :

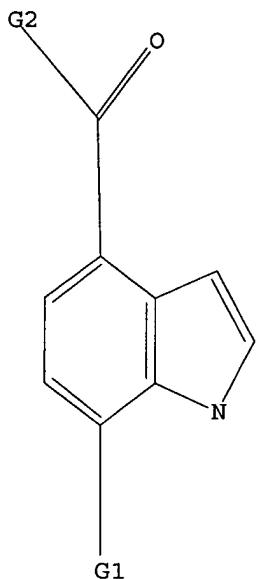
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS
12:CLASS 13:CLASS 15:CLASS

L1 STRUCTURE UPLOADED

=> d 11
L1 HAS NO ANSWERS
L1 STR

07/02/2005

10608949d.trn



G1 X,Cy,Ak

G2 O,N

Structure attributes must be viewed using STN Express query preparation.

```
=> s 11
SAMPLE SEARCH INITIATED 08:46:48 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2757 TO ITERATE
```

```
36.3% PROCESSED 1000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01
```

7 ANSWERS

```
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 51991 TO 58289
PROJECTED ANSWERS: 122 TO 648
```

L2 7 SEA SSS SAM L1

```
=> s 11 sss full
FULL SEARCH INITIATED 08:46:55 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 56148 TO ITERATE
```

255 ANSWERS

```
100.0% PROCESSED 56148 ITERATIONS
SEARCH TIME: 00.00.03
```

L3 255 SEA SSS FUL L1

```
=> FIL CAPLUS
COST IN U.S. DOLLARS
FULL ESTIMATED COST
```

| SINCE FILE ENTRY | TOTAL SESSION |
|------------------|---------------|
| 161.33 | 161.54 |

FILE 'CAPLUS' ENTERED AT 08:47:04 ON 07 FEB 2005

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FILE COVERS 1907 - 7 Feb 2005 VOL 142 ISS 7
FILE LAST UPDATED: 6 Feb 2005 (20050206/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13
L4 26 L3

=> s 14 and py<=2002
 22588576 PY<=2002
L5 20 L4 AND PY<=2002

=> d scan

L5 20 ANSWERS CAPLUS COPYRIGHT 2005 ACS on STN
CC 37 (Heterocyclic Compounds (One Hetero Atom))
TI Structure of melanins and melanogenesis. III. Structure of sepiomelanin
IT Spectra, infrared
 (of 3- and 5-methyl pyrrole-2,3,5-tricarboxylates)
IT Sepiomelanoprotein
IT Sepiomelanin
 (structure of)
IT 37174-47-3, Indole, 5,6,7-trimethoxy-
 (derivs.)
IT 452-86-8, Pyrocatechol, 4-methyl- 634-97-9, Pyrrole-2-carboxylic acid
 931-03-3, Pyrrole-3-carboxylic acid 937-26-8, Pyrrole-2,4-dicarboxylic
 acid 937-27-9, Pyrrole-2,5-dicarboxylic acid 3131-52-0,
 Indole-5,6-diol 4790-08-3, Indole-2-carboxylic acid, 5,6-dihydroxy-
 90800-62-7, Indole-4,7-dicarboxylic acid, 5,6-dihydroxy-
 (from sepiomelanin decomposition)
IT 39034-33-8, Pyrrole-2,3,5-tricarboxylic acid, 5-methyl ester 53691-54-6,
 Pyrrole-2,3,5-tricarboxylic acid, 3-methyl ester
 (isolation from methylated sepiomelanin)
IT 58532-21-1, Indole-2,3-dione, 5,6,7-trimethoxy 90945-69-0,
 Indole-2,3-dione, 5-hydroxy-6,7-dimethoxy- 93187-34-9,
 Δ 3, α -Indolineacetonitrile, 5,6,7-trimethoxy-2-oxo-
 95704-83-9, Indole-2-carboxylic acid, 5,6-bis(benzyloxy)-, methyl ester
 101813-10-9, [Δ 2,2'-Biindoline]-3,3'-dione, 5,5',6,6',7,7'-
 hexamethoxy-
 (preparation of)

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

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=> d hit str
'STR' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

The following are valid formats:

ABS ----- GI and AB
ALL ----- BIB, AB, IND, RE
APPS ----- AI, PRAI
BIB ----- AN, plus Bibliographic Data and PI table (default)
CAN ----- List of CA abstract numbers without answer numbers
CBIB ----- AN, plus Compressed Bibliographic Data
DALL ----- ALL, delimited (end of each field identified)
DMAX ----- MAX, delimited for post-processing
FAM ----- AN, PI and PRAI in table, plus Patent Family data
FBIB ----- AN, BIB, plus Patent FAM
IND ----- Indexing data
IPC ----- International Patent Classifications
MAX ----- ALL, plus Patent FAM, RE
PATTS ----- PI, SO
SAM ----- CC, SX, TI, ST, IT
SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
SCAN must be entered on the same line as the DISPLAY,
e.g., D SCAN or DISPLAY SCAN)
STD ----- BIB, IPC, and NCL

IABS ----- ABS, indented with text labels
IALL ----- ALL, indented with text labels
IBIB ----- BIB, indented with text labels
IMAX ----- MAX, indented with text labels
ISTD ----- STD, indented with text labels

OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels

SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations

HIT ----- Fields containing hit terms
HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
containing hit terms
HITRN ----- HIT RN and its text modification
HITSTR ----- HIT RN, its text modification, its CA index name, and
its structure diagram
HITSEQ ----- HIT RN, its text modification, its CA index name, its
structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
structure diagram, plus NTE and SEQ fields
KWIC ----- Hit term plus 20 words on either side
OCC ----- Number of occurrence of hit term and field in which it occurs

To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (=>). Examples of formats include: TI; TI,AU; BIB,ST; TI,IND; TI,SO. You may specify the format fields in any order and the information will be displayed in the same order as the format specification.

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FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC to view a specified Accession Number.

ENTER DISPLAY FORMAT (BIB):end

=> d 15 ibib abs hitstr tot

L5 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:861062 CAPLUS
DOCUMENT NUMBER: 139:197300
TITLE: Product class 13: indole and its derivatives
AUTHOR(S): Joule, J. A.
CORPORATE SOURCE: Department of Chemistry, University of Manchester,
Manchester, M13 9PL, UK
SOURCE: Science of Synthesis (2001), 10, 361-652
CODEN: SSCYJ9

PUBLISHER: Georg Thieme Verlag

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

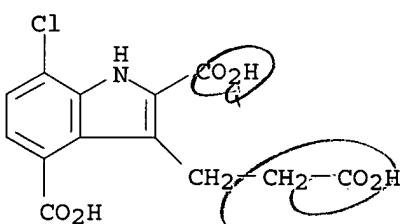
AB A review of preparation of indoles and its derivs. Covered reactions include cyclization, ring transformation, aromatization and substituent modifications. Subclasses covered include 1H-indol-1-ols, 1,3-dihydro-2H-indol-2-ones, and 1,2-dihydro-3H-indol-3-ones.

IT 36800-67-6P 74809-27-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(review of preparation of indoles and analogs thereof via cyclization, ring transformation, aromatization and substituent modifications)

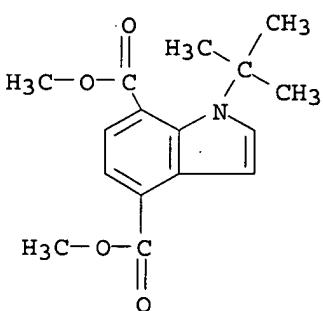
RN 36800-67-6 CAPLUS

CN 1H-Indole-2,4-dicarboxylic acid, 3-(2-carboxyethyl)-7-chloro- (9CI) (CA INDEX NAME)



RN 74809-27-1 CAPLUS

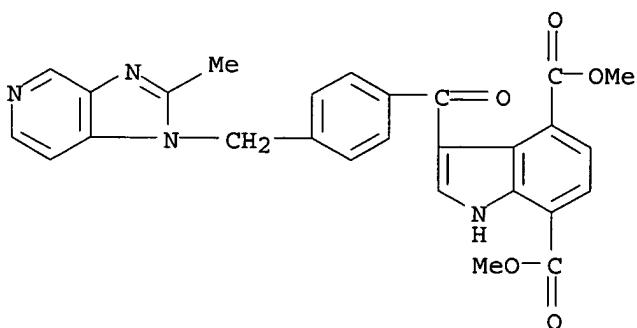
CN 1H-Indole-4,7-dicarboxylic acid, 1-(1,1-dimethylethyl)-, dimethyl ester (9CI) (CA INDEX NAME)



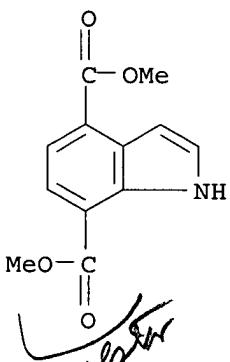
REFERENCE COUNT: 1348 THERE ARE 1348 CITED REFERENCES AVAILABLE FOR

THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1998:58 CAPLUS
 DOCUMENT NUMBER: 128:57082
 TITLE: Discovery and Evaluation of a Series of 3-Acylinole
 Imidazopyridine Platelet-Activating Factor Antagonists
 Curtin, Michael L.; Davidsen, Steven K.; Heyman, H.
 Robin; Garland, Robert B.; Sheppard, George S.;
 Florjancic, Alan S.; Xu, Lianhong; Carrera, George
 M., Jr.; Steinman, Douglas H.; Trautmann, Jeff A.;
 Albert, Daniel H.; Magoc, Terrance J.; Tapang, Paul;
 Rhein, David A.; Conway, Richard G.; Luo, Gongjin;
 Denissen, Jon F.; Marsh, Kennan C.; Morgan, Douglas
 W.; Summers, James B.
 CORPORATE SOURCE: Immunosciences Research Area, Pharmaceutical Products
 Division, Abbott Laboratories, Abbott Park, IL,
 60064-3500, USA
 SOURCE: Journal of Medicinal Chemistry (1998),
 41(1), 74-95
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Studies conducted with the goal of discovering a second-generation
 platelet-activating factor (PAF) antagonist have identified a novel class
 of potent and orally active antagonists which have high aqueous solubility and
 long duration of action in animal models. The compds. arose from the
 combination of the lipophilic indole portion of Abbott's first-generation
 PAF antagonist ABT-299 with the methylimidazopyridine heterocycle moiety
 of British Biotechnol.'s BB-882 and possess the pos. attributes of both of
 these clin. candidates. Structure-activity relationship (SAR) studies
 indicated that modification of the indole and benzoyl spacer of lead
 compound 1-(N,N-Dimethylcarbamoyl)-6-(4-fluorophenyl)-3-{4-[(1H-2-
 methylimidazo[4,5-c]pyrid-1-yl)methyl]benzoyl}indole gave analogs that
 were more potent, longer-lived, and bioavailable and resulted in the
 identification of 1-(N,N-dimethylcarbamoyl)-4-ethynyl-3-{3-fluoro-4-[(1H-2-
 methylimidazo[4,5-c]pyrid-1-yl)methyl]benzoyl}indole hydrochloride
 (ABT-491) which has been evaluated extensively and is currently in clin.
 development.
 IT 170498-16-5P
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological
 process); BSU (Biological study, unclassified); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); PROC (Process); USES (Uses)
 (acylindole imidazopyridine PAF antagonist preparation and evaluation)
 RN 170498-16-5 CAPLUS
 CN 1H-Indole-4,7-dicarboxylic acid, 3-[4-[(2-methyl-1H-imidazo[4,5-c]pyridin-
 1-yl)methyl]benzoyl]-, dimethyl ester (9CI) (CA INDEX NAME)



IT 170499-96-4, 4,7-Bis(methoxycarbonyl)indole
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction; acylindole imidazopyridine PAF antagonist preparation and evaluation)
 RN 170499-96-4 CAPLUS
 CN 1H-Indole-4,7-dicarboxylic acid, dimethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1997:805722 CAPLUS
 DOCUMENT NUMBER: 128:34682
 TITLE: Preparation of indole derivatives as cell protective agents
 INVENTOR(S): Yamamoto, Ichiro; Itoh, Manabu; Shimojo, Masato;
 Yumiya, Yasunobu; Mukaihira, Takafumi; Akada,
 Yasushige
 PATENT ASSIGNEE(S): Mochida Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 219 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

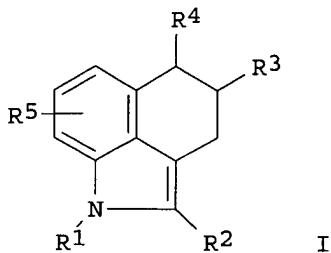
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------------|------|----------|-----------------|--------------|
| WO 9745410 | A1 | 19971204 | WO 1997-JP1828 | 19970529 <-- |
| W: CA, JP, KR, US | | | | |

07/02/2005

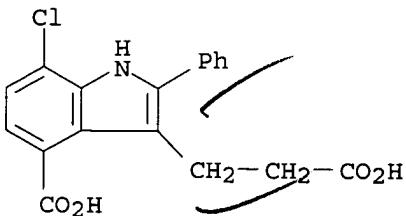
10608949d.trn

RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
 TW 430660 B 20010421 TW 1997-86107186 19970527 <--
 CA 2228268 AA 19971204 CA 1997-2228268 19970529 <--
 EP 858996 A1 19980819 EP 1997-924254 19970529 <--
 EP 858996 B1 20041027
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, FI
 AT 280758 E 20041115 AT 1997-924254 19970529
 US 6040331 A 20000321 US 1998-11260 19980130 <--
 PRIORITY APPLN. INFO.: JP 1996-158985 A 19960530
 JP 1996-332764 A 19961128
 WO 1997-JP1828 W 19970529

OTHER SOURCE(S) : MARPAT 128:34682
 GI



- AB The title compds. (I; R1 = H, CO2H, alkoxy carbonyl, etc.; R2 = halo, C1-4 alkyl or alkoxy, etc.; R3, R4 = H, NR6R7; R5 = H, halo, C1-4 alkyl, etc.; R6, R7 = H, Ph, CHO, alkyl, etc.) are prepared I are useful as analgetic agents and cell protective agents for prevention and treatment of diseases accompanied by the denaturation, retraction or death of nerve cells. Thus, compound (II; X = :O) (preparation given) was treated with NH4OAc and NaBH3CN to give the title compound II (X = NH2), which at 1.0 µg/mL showed 51% inhibitory activity against death of nerve cells.
- IT 199664-63-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of indole derivs. as cell protective agents)
- RN 199664-63-6 CAPLUS
- CN 1H-Indole-3-propanoic acid, 4-carboxy-7-chloro-2-phenyl- (9CI) (CA INDEX NAME)



L5 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1996:119185 CAPLUS

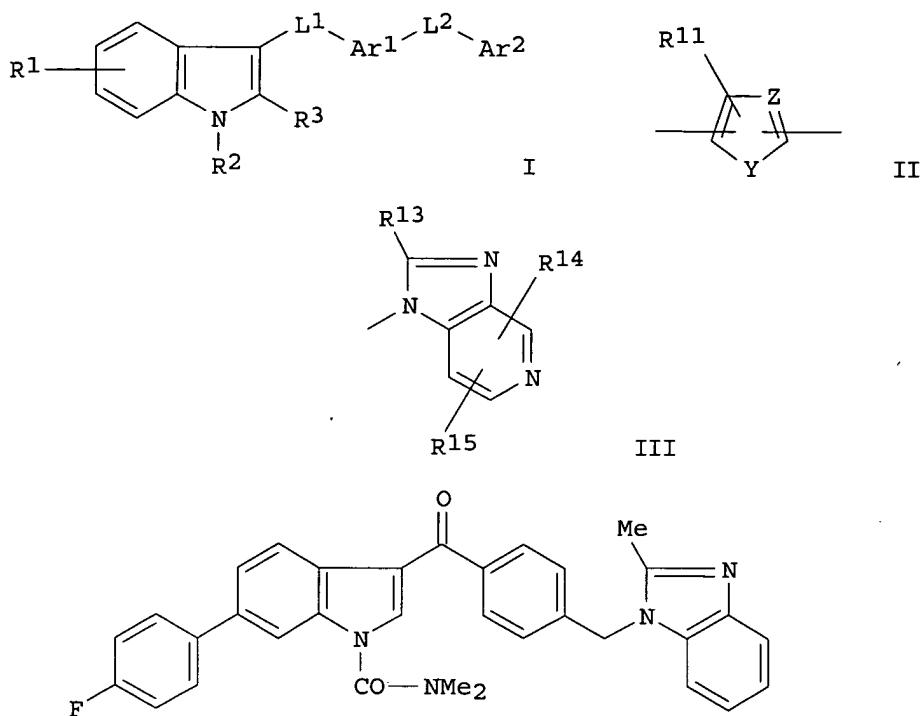
07/02/2005

10608949d.trn

DOCUMENT NUMBER: 124:317157
TITLE: Platelet activating factor antagonists:
imidazopyridine indoles
INVENTOR(S): Summers, James B., Jr.; Davidsen, Steven K.; Curtin,
Michael L.; Heyman, H. Robin; Sheppard, George S.; Xu,
Lianhong; Carrera, George M., Jr.; Garland, Robert B.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S., 59 pp. Cont.-in-part of U.S. Ser. No. 324,631.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|--------------|
| US 5486528 | A | 19960123 | US 1994-347528 | 19941205 <-- |
| CA 2176247 | AA | 19950622 | CA 1994-2176247 | 19941208 <-- |
| WO 9516687 | A1 | 19950622 | WO 1994-US14112 | 19941208 <-- |
| W: AU, CA, JP, KR | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| AU 9513036 | A1 | 19950703 | AU 1995-13036 | 19941208 <-- |
| AU 690620 | B2 | 19980430 | | |
| EP 734386 | A1 | 19961002 | EP 1995-904287 | 19941208 <-- |
| EP 734386 | B1 | 20020206 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| AT 212992 | E | 20020215 | AT 1995-904287 | 19941208 <-- |
| PT 734386 | T | 20020731 | PT 1995-904287 | 19941208 <-- |
| ES 2173171 | T3 | 20021016 | ES 1995-904287 | 19941208 <-- |
| PRIORITY APPLN. INFO.: | | | US 1993-168564 | B2 19931216 |
| | | | US 1994-324631 | A2 19941018 |
| | | | US 1994-347528 | A 19941205 |
| | | | WO 1994-US14112 | W 19941208 |

OTHER SOURCE(S): MARPAT 124:317157
GI



AB The present invention relates to compds. of formula I wherein: R1 = one or more of the groups independently selected from, e.g., H, halo, OH, cyano; R2 is selected from the group consisting of, e.g., H, alkyl of one to 6 C atoms; R3 is selected from the group consisting of H and alkyl of one to six C atoms; L1 = e.g., CO, COCH₂NR₄ where R₄ = e.g., H, alkyl of one to six C atoms; Ar₁ is radical II where Y is O, S, or CH:CH, Z is N or CH, R₁₁ = e.g., H, alkyl of one to six C atoms; L2 is selected from, e.g., a valence bond, (un)substituted straight-chain alkylene of one to six C atoms; Ar₂ is selected from, e.g., substituted benzimidazol-1-yl, imidazopyridine group III where R₁₃ = e.g., alkyl of one to six C atoms, alkenyl of two to six C atoms; R₁₄ and R₁₅ are independently selected from, e.g., H, alkyl of one to six C atoms, alkenyl of two to six C atoms; and the pharmaceutically acceptable salts thereof which are potent antagonists of PAF and are useful in the treatment of PAF-related disorders including asthma, shock, respiratory distress syndrome, acute inflammation, transplanted organ rejection, gastrointestinal ulceration, allergic skin diseases, delayed cellular immunity, parturition, fetal lung maturation, and cellular differentiation. Thus, e.g., carbamoylation of 6-(4-fluorophenyl)-3-{4-[(1H-2-methylbenzimidazolyl)methyl]benzoyl}indole (preparation given) with dimethylcarbamoyl chloride afforded 1-N,N-dimethylcarbamoyl-6-(4-fluorophenyl)-3-{4-[(1H-2-methylbenzimidazolyl)methyl]benzoyl}indole (IV) which exhibited Ki = 56 nM for inhibition of specific [³H]C18-PAF binding.

IT 170498-16-5P

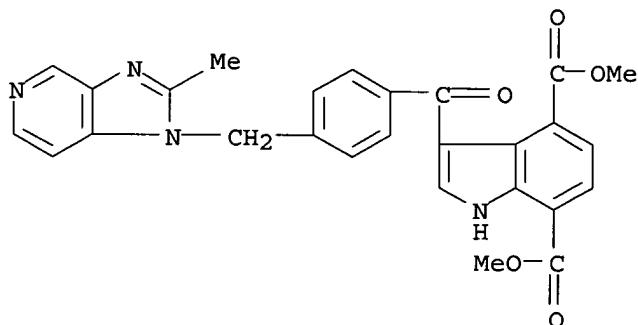
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(imidazopyridine indoles as platelet activating factor antagonists)

RN 170498-16-5 CAPLUS

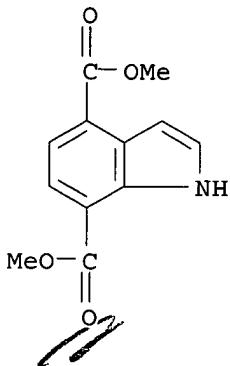
CN 1H-Indole-4,7-dicarboxylic acid, 3-[4-[(2-methyl-1H-imidazo[4,5-c]pyridin-1-yl)methyl]benzoyl]-, dimethyl ester (9CI) (CA INDEX NAME)

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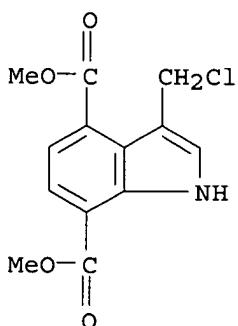
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IT 170499-96-4P, 4,7-Bis(methoxycarbonyl)indole 175675-75-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(imidazopyridine indoles as platelet activating factor antagonists)
RN 170499-96-4 CAPLUS
CN 1H-Indole-4,7-dicarboxylic acid, dimethyl ester (9CI) (CA INDEX NAME)



RN 175675-75-9 CAPLUS
CN 1H-Indole-4,7-dicarboxylic acid, 3-(chloromethyl)-, dimethyl ester (9CI)
(CA INDEX NAME)



L5 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:104544 CAPLUS

07/02/2005

10608949d.trn

DOCUMENT NUMBER: 124:260071
TITLE: Theoretical study of the reactions of
1-methyl-2-vinylpyrrole with methyl propiolate and
with dimethyl acetylenedicarboxylate
AUTHOR(S): Domingo, Luis R.; Jones, R. Alan; Picher, M. Teresa;
Sepulveda-Arques, Jose
CORPORATE SOURCE: Departament de Quimica Organica, Universitat de
Valencia, Dr Moliner 50, 46100-Burjassot, Valencia,
Spain
SOURCE: THEOCHEM (1996), 362(2), 209-13
CODEN: THEODJ; ISSN: 0166-1280
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A theor. study of the transition structures for the reactions of
1-methyl-2-vinylpyrrole 1 with Me propiolate (MP) and with di-Me
acetylenedicarboxylate (DMAD) indicates that, for this vinyl system, the
factor controlling the different courses of the reaction is the lower
activation energy for the formation of the transition state in the second
cycloaddn. with MP, compared to that with DMAD.

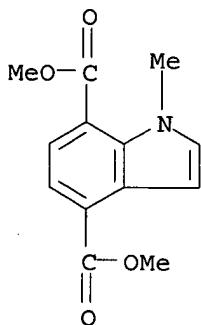
IT 74825-03-9 175400-78-9

RL: FMU (Formation, unclassified); PEP (Physical, engineering or chemical
process); PRP (Properties); FORM (Formation, nonpreparative); PROC
(Process)

(MO study of Diels-Alder reaction 1-methyl-2-vinylpyrrole with Me
propiolate and with di-Me acetylenedicarboxylate)

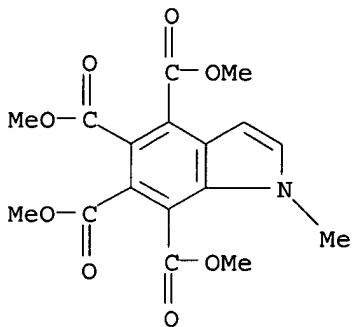
RN 74825-03-9 CAPLUS

CN 1H-Indole-4,7-dicarboxylic acid, 1-methyl-, dimethyl ester (9CI) (CA
INDEX NAME)



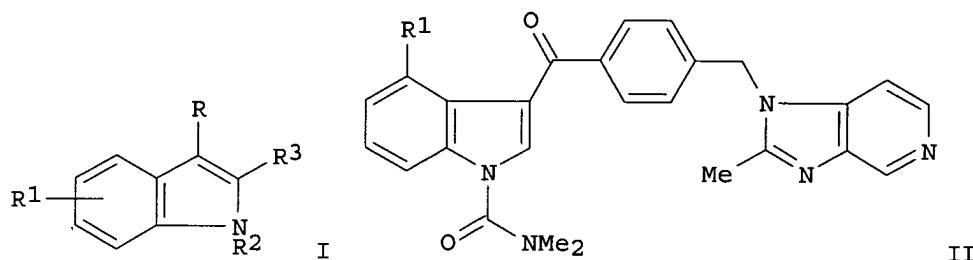
RN 175400-78-9 CAPLUS

CN 1H-Indole-4,5,6,7-tetracarboxylic acid, 1-methyl-, tetramethyl ester (9CI)
(CA INDEX NAME)



L5 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1995:928154 CAPLUS
 DOCUMENT NUMBER: 123:340121
 TITLE: Preparation of 3-[(imidazopyridylalkyl)benzoyl]indoles and analogs as platelet activating factor antagonists
 INVENTOR(S): Summers, James B., Jr.; Davidsen, Steven K.; Curtin, Michael L.; Heyman, H. Robin; Sheppard, George S.; Xu, Lianhong; Carrera, George M., Jr.; Garland, Robert B.
 PATENT ASSIGNEE(S): Abbott Laboratories, USA
 SOURCE: PCT Int. Appl., 160 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|--------|------------|-----------------|--------------|
| WO 9516687 | A1 | 19950622 | WO 1994-US14112 | 19941208 <-- |
| W: AU, CA, JP, KR | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| US 5486525 | A | 19960123 | US 1994-347528 | 19941205 <-- |
| CA 2176247 | AA | 19950622 | CA 1994-2176247 | 19941208 <-- |
| AU 9513036 | A1 | 19950703 | AU 1995-13036 | 19941208 <-- |
| AU 690620 | B2 | 19980430 | | |
| EP 734386 | A1 | 19961002 | EP 1995-904287 | 19941208 <-- |
| EP 734386 | B1 | 20020206 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| AT 212992 | E | 20020215 | AT 1995-904287 | 19941208 <-- |
| PRIORITY APPLN. INFO.: | | | US 1993-168564 | A 19931216 |
| | | | US 1994-324631 | A 19941018 |
| | | | US 1994-347528 | A 19941205 |
| | | | WO 1994-US14112 | W 19941208 |
| OTHER SOURCE(S): | MARPAT | 123:340121 | | |
| GI | | | | |

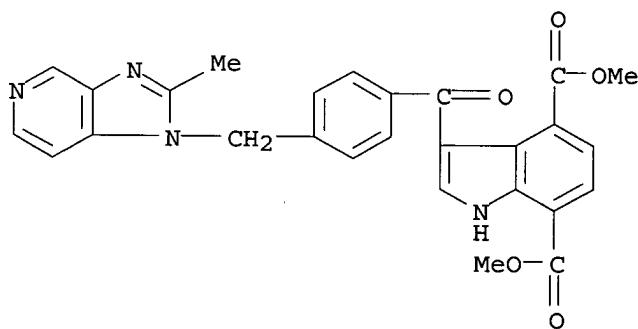


AB Title compds. [I; R = Z1Z2Z3R4; R1 = H, halo, alkyl, alkoxy, etc.; R2 = H, (carboxy)alkyl, aminoalkyl, etc.; R3 = H, alkyl; R4 = (hetero)anellated imidazolyl, etc.; Z1 = CO, CONH, C(:NNH2), etc.; Z2 = bond, phenylene, heteroarylene, etc.; Z3 = bond, (un)substituted alkylene] were prepared. Thus, 4-bromoindole was converted in 4 steps to I (R = COC₆H₄CH₂NH₂, R1 = 4-Br, R2 = CONMe₂, R3 = H) which was N-alkylated by 4-ethoxy-3-nitropyridine and the product converted in 2 steps to title compound II (R1 = Br). The latter was alkylated by Me₃SnC.tplbond.CSiMe₃ to give, after deprotection, II (R1 = C.tplbond.CH) which had Ki of 0.6nM for platelet activating factor inhibition in vitro.

IT 170498-16-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-[(imidazopyridylalkyl)benzoyl]indoles and analogs as platelet activating factor antagonists)

RN 170498-16-5 CAPLUS

CN 1H-Indole-4,7-dicarboxylic acid, 3-[4-[(2-methyl-1H-imidazo[4,5-c]pyridin-1-yl)methyl]benzoyl]-, dimethyl ester (9CI) (CA INDEX NAME)



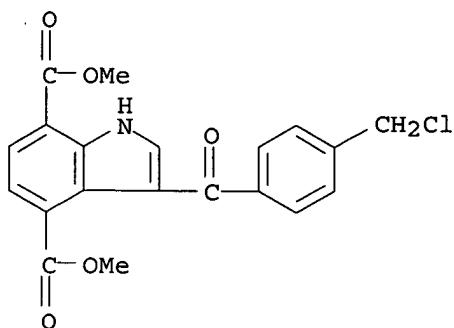
IT 170499-57-7P 170499-96-4P, Dimethyl indole-4,7-dicarboxylate

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 3-[(imidazopyridylalkyl)benzoyl]indoles and analogs as platelet activating factor antagonists)

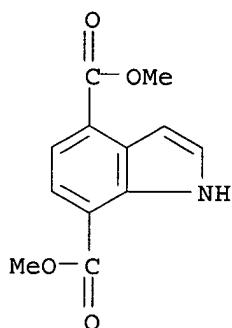
RN 170499-57-7 CAPLUS

CN 1H-Indole-4,7-dicarboxylic acid, 3-[4-(chloromethyl)benzoyl]-, dimethyl ester (9CI) (CA INDEX NAME)



RN 170499-96-4 CAPLUS

CN 1H-Indole-4,7-dicarboxylic acid, dimethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:264059 CAPLUS

DOCUMENT NUMBER: 122:133016

TITLE: Synthesis of pyrano[4,3-b]azepines by [4 + 2] cycloaddition of photochemically generated 3-alkoxycarbonyl-1,2-didehydroazepines with enol ethers

AUTHOR(S): Tueckmantel, Werner

CORPORATE SOURCE: Pharmazeutisch-Chem. Inst., Univ. Heidelberg,
Heidelberg, D-69120, GermanySOURCE: Liebigs Annalen der Chemie (1994), (12),
1165-71

PUBLISHER: CODEN: LACHDL; ISSN: 0170-2041

DOCUMENT TYPE: VCH

LANGUAGE: Journal

OTHER SOURCE(S): English

CASREACT 122:133016

AB 3-Alkoxy carbonyl-1,2-didehydroazepines, generated by photolysis of alkyl 2-azidobenzoates, undergo a hetero-[4 + 2] cycloaddn. (stepwise or concerted) with ketone-derived enol ethers to form intensely colored, paratropic 6,8-dialkoxy-8,9-dihydropyrano[4,3-b]azepines, which contain the unusual 3-azaheptafulvene partial structure. Other derivs. of 2-azidobenzoic acid as well as aldehyde-derived enol ethers, other classes of olefins, phenol ethers, and furans are unreactive although 2-methoxynaphthalene undergoes demethylation to produce Me 2-(2-naphthoxy)-3H-azepine-3-carboxylate. Acid-catalyzed hydrolysis of

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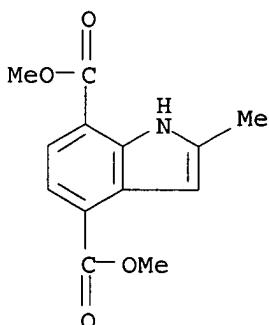
the title compds. produces 2-(acylmethylene)-2,3-dihydro-1H-azepine-3-carboxylates and indoles; catalytic hydrogenation generates a tetrahydro derivative, and diastereomeric tricarbonylation complexes are formed with Fe₂(CO)₉ at the conjugated diene moiety. An intensely colored byproduct of the photolysis reaction is identified as the first known derivative of 3,3'-diazaheptafulvalene.

IT 160777-53-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 160777-53-7 CAPLUS

CN 1H-Indole-4,7-dicarboxylic acid, 2-methyl-, dimethyl ester (9CI) (CA
INDEX NAME)



L5 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:106973 CAPLUS

DOCUMENT NUMBER: 120:106973

TITLE: Preparation of indoledicarboxymides as antitumor agents

INVENTOR(S): Nagai, Takashi; Myokan, Isao; Funaki, Takashi; Nomura, Yoko; Mizutani, Masatoshi; Hori, Takako

PATENT ASSIGNEE(S): Toyama Chemical Co Ltd, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.

CODEN: JKXXAF

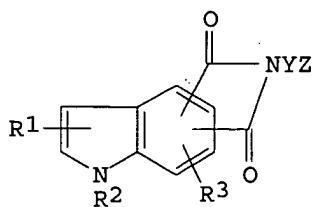
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|------------|-----------------|--------------|
| JP 05202048 | A2 | 19930810 | JP 1992-38615 | 19920129 <-- |
| JP 3178880 | B2 | 20010625 | | |
| PRIORITY APPLN. INFO.: | | | JP 1992-38615 | 19920129 |
| OTHER SOURCE(S): | MARPAT | 120:106973 | | |
| GI | | | | |



AB The title compds. I [R1 = H, (substituted) alkyl, alkenyl, aryl, etc.; R2 = H, (substituted) alkyl, acyl, etc.; R3 = H, halo, (substituted) alkyl, cycloalkyl, etc.; Y = bond, alkylene; Z = halo, NR4R5, etc.; R4, R5 = H, (substituted) alkyl, cycloalkyl, acyl, etc.; or NR4R5 = (substituted) N-containing heterocyclic ring] were prepared Condensation of 3,7-dimethyl-2-phenylindole-4,5-dicarboxylic acid anhydride with N,N-dimethylethylenediamine in xylene gave N-(2-dimethylaminoethyl)-3,7-dimethyl-2-phenyl-indole-4,5-dicarboxyimide. The title compds. in vitro had MIC values of 1.56-6.25 µg/mL against tumor HeLa S3 cells.

IT 152294-66-1P 152294-67-2P 152294-68-3P

152294-69-4P 152294-70-7P 152294-71-8P

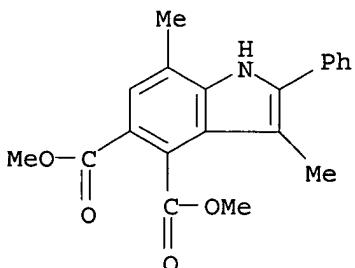
152294-72-9P 152294-78-5P 152294-79-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of antitumor agent)

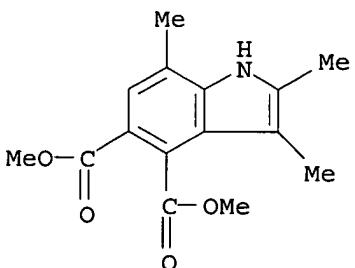
RN 152294-66-1 CAPLUS

CN 1H-Indole-4,5-dicarboxylic acid, 3,7-dimethyl-2-phenyl-, dimethyl ester (9CI) (CA INDEX NAME)



RN 152294-67-2 CAPLUS

CN 1H-Indole-4,5-dicarboxylic acid, 2,3,7-trimethyl-, dimethyl ester (9CI) (CA INDEX NAME)

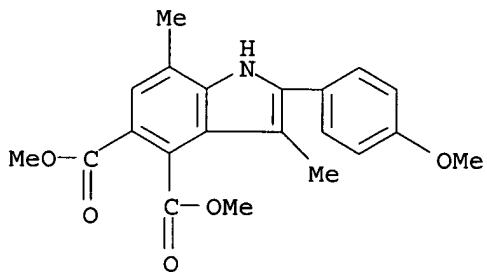


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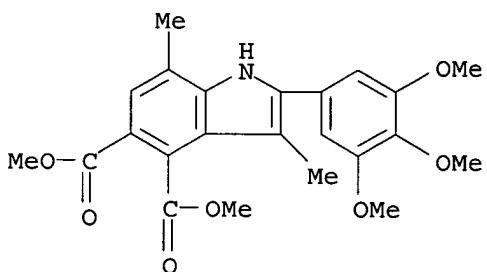
RN 152294-68-3 CAPLUS

CN 1H-Indole-4,5-dicarboxylic acid, 2-(4-methoxyphenyl)-3,7-dimethyl-, dimethyl ester (9CI) (CA INDEX NAME)



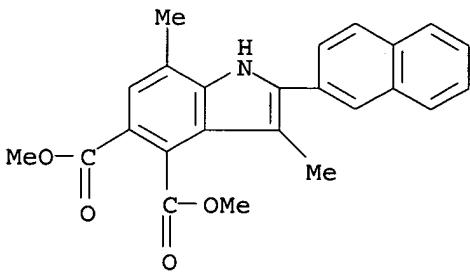
RN 152294-69-4 CAPLUS

CN 1H-Indole-4,5-dicarboxylic acid, 3,7-dimethyl-2-(3,4,5-trimethoxyphenyl)-, dimethyl ester (9CI) (CA INDEX NAME)



RN 152294-70-7 CAPLUS

CN 1H-Indole-4,5-dicarboxylic acid, 3,7-dimethyl-2-(2-naphthalenyl)-, dimethyl ester (9CI) (CA INDEX NAME)

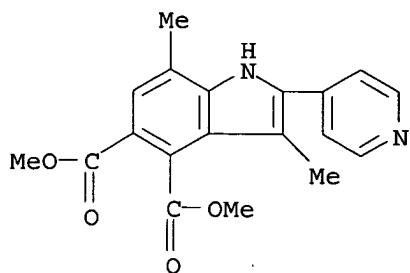


RN 152294-71-8 CAPLUS

CN 1H-Indole-4,5-dicarboxylic acid, 3,7-dimethyl-2-(4-pyridinyl)-, dimethyl ester (9CI) (CA INDEX NAME)

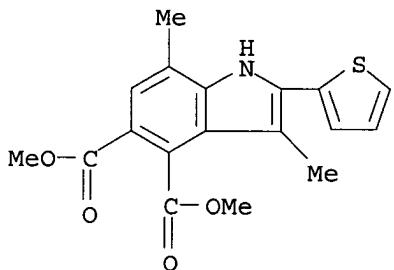
07/02/2005

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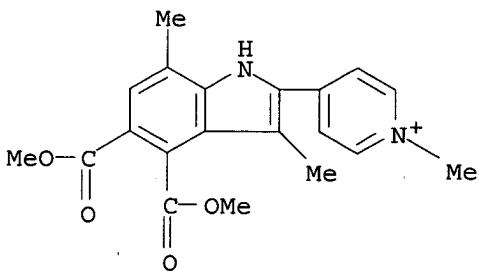
RN 152294-72-9 CAPLUS

CN 1H-Indole-4,5-dicarboxylic acid, 3,7-dimethyl-2-(2-thienyl)-, dimethyl ester (9CI) (CA INDEX NAME)



RN 152294-78-5 CAPLUS

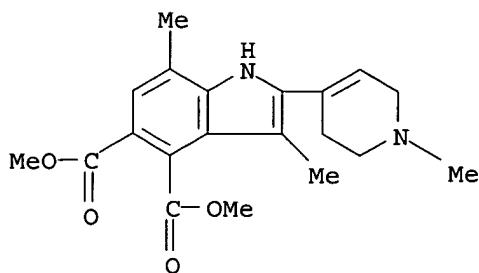
CN Pyridinium, 4-[4,5-bis(methoxycarbonyl)-3,7-dimethyl-1H-indol-2-yl]-1-methyl-, iodide (9CI) (CA INDEX NAME)



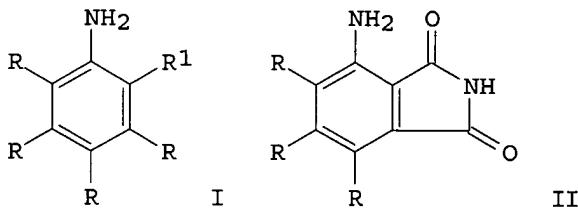
● I⁻

RN 152294-79-6 CAPLUS

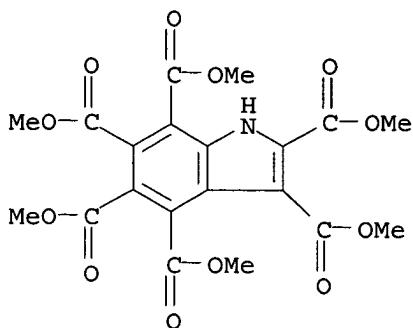
CN 1H-Indole-4,5-dicarboxylic acid, 3,7-dimethyl-2-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)-, dimethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1992:151256 CAPLUS
 DOCUMENT NUMBER: 116:151256
 TITLE: Copper(II) in organic synthesis. IX. The copper(II)-catalyzed Michael reaction as a route to polysubstituted benzene derivatives
 AUTHOR(S): Desimoni, Giovanni; Invernizzi, Anna Gamba; Quadrelli, Paolo; Righetti, Pier Paolo
 CORPORATE SOURCE: Dip. Chim. Org., Univ. Pavia, Pavia, I-27100, Italy
 SOURCE: Gazzetta Chimica Italiana (1991), 121(10), 483-5
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 116:151256
 GI



- AB Cyclization of RC.tplbond.CR (R = CO₂Me) with R₁CH₂CN (R₁ = CN, CO₂Me) in dioxane catalyzed by Cu₂(OAc)₄, gave 20-41% anilines I, whereas H₂NCOCH₂CN gave 16% II.
 IT 139286-25-2P
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
 (preparation and spectra of)
 RN 139286-25-2 CAPLUS
 CN 1H-Indole-2,3,4,5,6,7-hexamethoxyhexacarboxylic acid, hexamethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1991:583012 CAPLUS

DOCUMENT NUMBER: 115:183012

TITLE: [4+2] Cycloaddition reaction of N-(ethoxycarbonyl)-2-[1-(trimethylsiloxy)vinyl]pyrrole with acetylenic carboxylates

AUTHOR(S): Ohno, Masatomi; Shimizu, Sadahiro; Eguchi, Shoji

CORPORATE SOURCE: Fac. Eng., Nagoya Univ., Nagoya, 464, Japan

SOURCE: Heterocycles (1991), 32(6), 1199-202

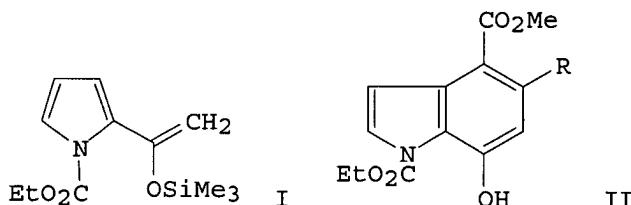
CODEN: HTCYAM; ISSN: 0385-5414

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 115:183012

GI



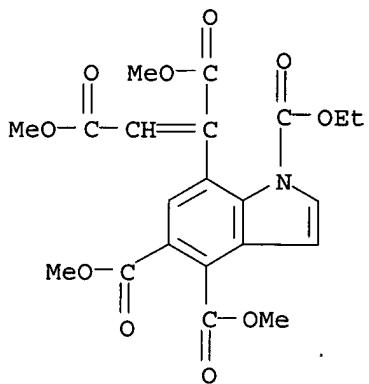
AB The title reaction resulted in the formation of functionalized indoles through rearomatization via ene reaction followed by elimination or via competitive air oxidation. Under an atmospheric of oxygen the latter process predominated to give majorly 7-hydroxy substituted indoles. Thus, the reactions of the title pyrrole I with RC.tplbond.CCO2Me (R = H, CO2Me) in the presence of air or oxygen gave hydroxyindoles II.

IT 136497-17-1P

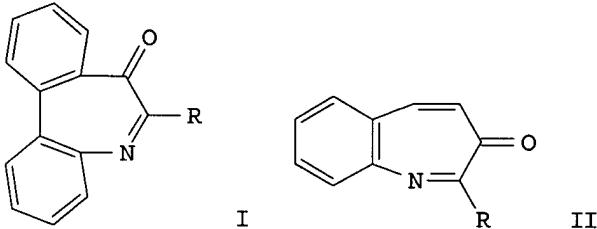
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 136497-17-1 CAPLUS

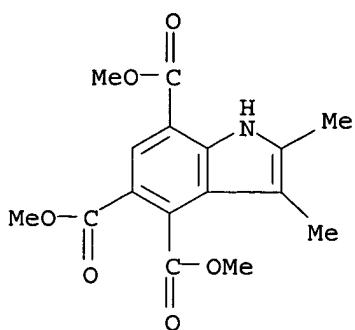
CN 1H-Indole-1,4,5-tricarboxylic acid, 7-[3-methoxy-1-(methoxycarbonyl)-3-oxo-1-propenyl]-, 1-ethyl 4,5-dimethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1986:424169 CAPLUS
 DOCUMENT NUMBER: 105:24169
 TITLE: Syntheses and properties of 2-amino-3-oxo-3H-azepines
 AUTHOR(S): Eicher, Theophil; Kruse, Alfred
 CORPORATE SOURCE: Fachber. 14 Org. Chem., Univ. Saarlandes,
 Saarbruecken, D-6600/11, Fed. Rep. Ger.
 SOURCE: Synthesis (1985), (6-7), 612-19
 DOCUMENT TYPE: Journal
 LANGUAGE: German
 OTHER SOURCE(S): CASREACT 105:24169
 GI



- AB The aminodibenzazepinones I [R = piperdino, morpholino, NET₂, NCHMe₂)₂, NHCHMe₂, NHPH] were obtained in 45-77% yield by treating 5-tosyl-6,7-dihydro-5H-dibenz[b,d]azepin-7-one with EtO₂CCH₂CH₂P+Ph₃ Br₃. The aminobenzazepinones II (R = NET₂, NHCHMe₂) were similarly prepared. The 3-benzazepin-1-one III was obtained from the 4,5-dihydro derivative by bromination-dehydrobromination. The chemical and spectroscopic properties of I-III are discussed.
- IT 102913-14-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
- RN 102913-14-4 CAPLUS
- CN 1H-Indole-4,5,7-tricarboxylic acid, 2,3-dimethyl-, trimethyl ester (9CI)
 (CA INDEX NAME)



L5 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2005 ACS on STM

ACCESSION NUMBER: 1985:131299 CAPLUS

DOCUMENT NUMBER: 102:131299

TITLE: Pyrrole studies. Part 28. The effect of steric hindrance upon the reaction of 2-vinylpyrroles with dimethyl acetylenedicarboxylate

AUTHOR(S): Jones, R. Alan; Saliente, Teresa Aznar; Arques, Jose Sepulveda

CORPORATE SOURCE: Sch. Chem. Sci., Univ. East Anglia, Norwich, NR4 7JT, UK

SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1984), (11), 2541-3

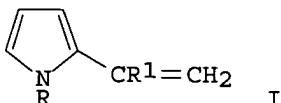
CODEN: JCPRB4; ISSN: 0300-922X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 102:131299

GI



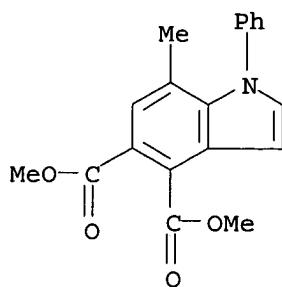
AB The reactions of the vinylpyrroles I ($R = Me, R1 = H, Me, CMe_3, Ph; R = Ph, R1 = H, Me$) with $MeO_2CC.tpbond.CCO_2Me$ (II) in $CHCl_3$ were examined at 20 and 60°. Steric interaction between R and R1 destabilizes the cisoid conformation of I, thereby inhibiting ($\pi_4 + \pi_2$)-cycloaddn. reactions. Bulky N-substituents also sterically inhibited the Michael addition of II at the 5-position of the ring.

IT 94633-41-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 94633-41-7 CAPLUS

CN 1H-Indole-4,5-dicarboxylic acid, 7-methyl-1-phenyl-, dimethyl ester (9CI)
(CA INDEX NAME)



L5 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1983:452768 CAPLUS

DOCUMENT NUMBER: 99:52768

TITLE: Diels-Alder reactions of vinyl derivatives of five-membered monoheterocyclic compounds

AUTHOR(S): Noland, Wayland E.; Lee, Chang Kiu; Bae, Sun Kun; Chung, Bong Yul; Hahn, Chi Sun; Kim, Keun Jae

CORPORATE SOURCE: Sch. Chem., Univ. Minnesota, Minneapolis, MN, 55455, USA

SOURCE: Journal of Organic Chemistry (1983), 48(15), 2488-91

CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 99:52768

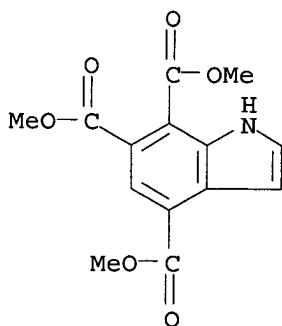
AB Vinylpyrroles having electron-withdrawing substituents react with dienophiles to give [4 + 2] π adducts while the furan and thiophene analogs do not due to the greater electron-releasing ability of the N atom in the pyrrole. The s-cis conformation of the (1H-pyrrol-2-yl)maleate derivs. is an important factor in their cycloaddn. reaction.

IT 86012-84-2P 86012-89-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

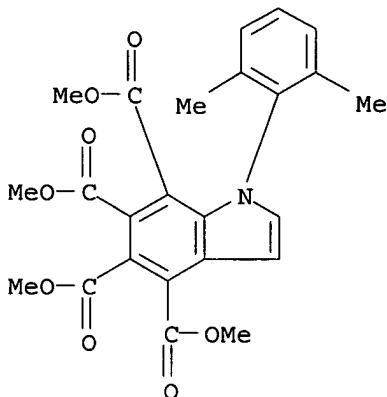
RN 86012-84-2 CAPLUS

CN 1H-Indole-4,6,7-tricarboxylic acid, trimethyl ester (9CI) (CA INDEX NAME)



RN 86012-89-7 CAPLUS

CN 1H-Indole-4,5,6,7-tetracarboxylic acid, 1-(2,6-dimethylphenyl)-, tetramethyl ester (9CI) (CA INDEX NAME)

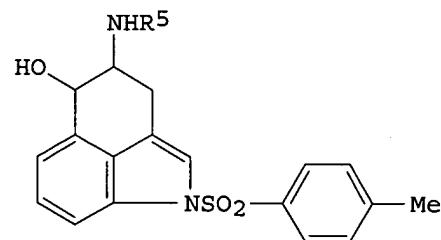
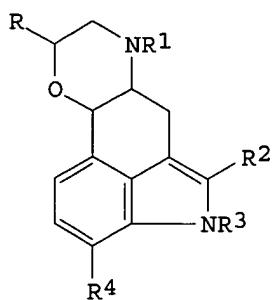


L5 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1981:425087 CAPLUS
 DOCUMENT NUMBER: 95:25087
 TITLE: Indolobenzoxazines
 INVENTOR(S): Jones, James H.
 PATENT ASSIGNEE(S): Merck and Co., Inc., USA
 SOURCE: U.S., 9 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| US 4238486 | A | 19801209 | US 1979-96966 | 19791123 <-- |
| EP 33767 | A1 | 19810819 | EP 1980-107206 | 19801120 <-- |
| EP 33767 | B1 | 19840627 | | |
| R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE | | | | |
| AT 8144 | E | 19840715 | AT 1980-107206 | 19801120 <-- |
| DK 8004975 | A | 19810524 | DK 1980-4975 | 19801121 <-- |
| AU 8064594 | A1 | 19810528 | AU 1980-64594 | 19801121 <-- |
| AU 539028 | B2 | 19840906 | | |
| ES 497064 | A1 | 19820401 | ES 1980-497064 | 19801121 <-- |
| ZA 8007295 | A | 19820630 | ZA 1980-7295 | 19801121 <-- |
| JP 56087583 | A2 | 19810716 | JP 1980-164768 | 19801125 <-- |
| JP 02027358 | B4 | 19900615 | | |
| PRIORITY APPLN. INFO.: | | | US 1979-96966 | A 19791123 |
| | | | EP 1980-107206 | A 19801120 |

GI



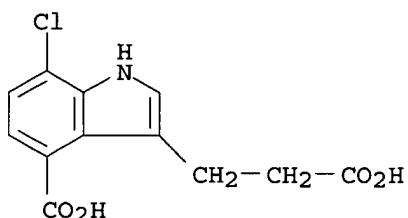
AB The indolobenzoxazines I ($R = H$, alkyl, aryl; $R1 = H$, alkyl, aralkyl, cycloalkyl, alkenyl; $R2 = H$, halo, alkyl; $R3 = H$, alkyl, aralkyl; $R4 = H$, halo, alkyl, hydroxy, alkoxy) were prepared. Thus, the benzindole II ($R5 = H$) was treated with $ClCH_2COCl$ to give II ($R5 = ClCH_2CO$), which was cyclized followed by $LiAlH_4$ reduction to give I ($R-R4 = H$). At 50-500 mg/kg I were antihypertensive, and at 20-100 mg/kg had antiparkinson and prolactin-inhibiting activity.

IT 36800-76-7

RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of)

RN 36800-76-7 CAPLUS

CN 1H-Indole-3-propanoic acid, 4-carboxy-7-chloro- (9CI) (CA INDEX NAME)



L5 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1981:3909 CAPLUS

DOCUMENT NUMBER: 94:3909

TITLE: Electrophilic reactions of dimethyl acetylenedicarboxylate with a cyclic dienamine: solvent influence upon the competitive formation of [4+2]-, [2+2]- and Michael type adducts

AUTHOR(S): Eberbach, Wolfgang; Carre, Jean Claude

CORPORATE SOURCE: Chem. Lab., Univ. Freiburg, Freiburg, D-7800, Fed. Rep. Ger.

SOURCE: Tetrahedron Letters (1980), 21(12), 1145-8

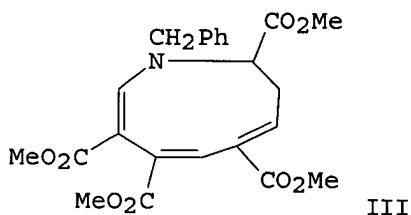
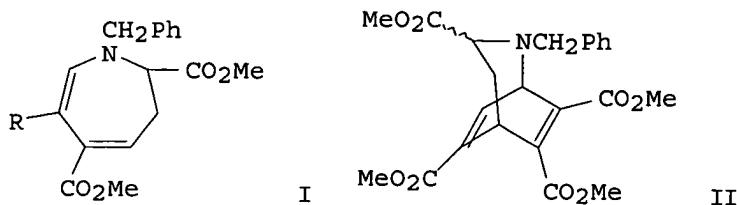
CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 94:3909

GI



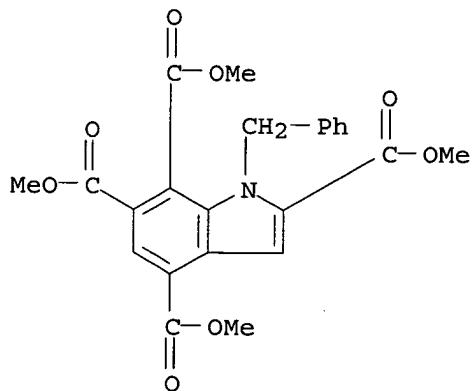
AB The azepine I ($R = H$) reacted with $\text{MeO}_2\text{CC.tplbond.CCO}_2\text{Me}$ in CCl_4 , MeCN , and MeOH to give the adducts II, III, and I [$R = (\text{E})-\text{MeO}_2\text{CCH:C(CO}_2\text{Me)}$], resp. The mechanism and effect of solvent are discussed.

IT 75817-91-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 75817-91-3 CAPLUS

CN 1H-Indole-2,4,6,7-tetracarboxylic acid, 1-(phenylmethyl)-, tetramethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1980:639132 CAPLUS

DOCUMENT NUMBER: 93:239132

TITLE: Pyrrole studies. 22. $[4\pi + 2\pi]$ Cycloaddition reactions with vinylpyrroles

AUTHOR(S): Jones, R. Alan; Marriott, Michael T. P.; Rosenthal, W. Philip; Sepulveda Arques, Jose

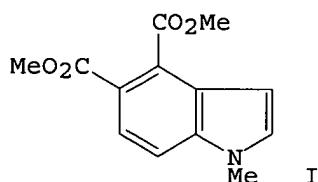
CORPORATE SOURCE: Sch. Chem. Sci., Univ. East Anglia, Norwich/Norfolk, NR4 7TJ, UK

SOURCE: Journal of Organic Chemistry (1980), 45(22),

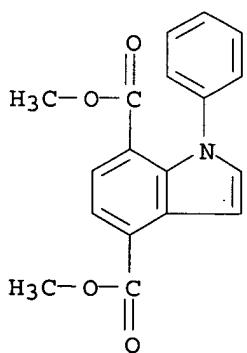
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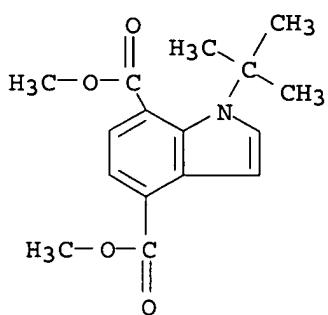
4515-19
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 93:239132
GI



- AB Diels-Alder reaction of 2- and 3-vinylpyrroles with electron-deficient dienophiles gave 4 dihydro- and 9 tetrahydroindoles, which underwent sigmatropic H migration leading to aromatization of the 5-membered ring. Thus, cycloaddn. of 1-methyl-2-vinylpyrrole with MeO₂CC.tplbond.CCO₂Me gave 67% di-Me 1-methyl-6,7-dihydroindole-4,5-dicarboxylate, which was aromatized by refluxing with 2,3-dichloro-5,6-dicyanoquinone in dry C₆H₆ 0.5 h to give 25% di-Me 1-methylindole-4,5-dicarboxylate (I). Among the 7 other indoles similarly prepared were di-Me 1-phenylindole-4,7-dicarboxylate and Me 1-tert-butylindole-7-carboxylate.
- IT 74809-24-8P 74809-27-1P 74825-03-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
- RN 74809-24-8 CAPLUS
- CN 1H-Indole-4,7-dicarboxylic acid, 1-phenyl-, dimethyl ester (9CI) (CA INDEX NAME)

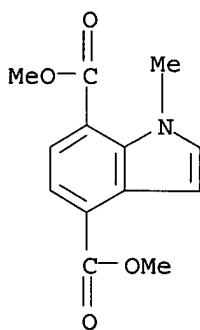


- RN 74809-27-1 CAPLUS
- CN 1H-Indole-4,7-dicarboxylic acid, 1-(1,1-dimethylethyl)-, dimethyl ester (9CI) (CA INDEX NAME)



RN 74825-03-9 CAPLUS

CN 1H-Indole-4,7-dicarboxylic acid, 1-methyl-, dimethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1980:586626 CAPLUS

DOCUMENT NUMBER: 93:186626

TITLE: Preparative methods for ergoline synthons: Uhle's ketone and the C-homo analog

AUTHOR(S): Ponticello, G. S.; Baldwin, J. J.; Lumma, P. K.; McClure, D. E.

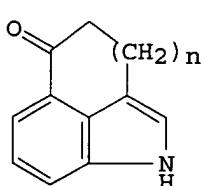
CORPORATE SOURCE: Merck Sharp and Dohme Res. Lab., Dep. Med. Chem., West Point, PA, 19486, USA

SOURCE: Journal of Organic Chemistry (1980), 45(21), 4236-8

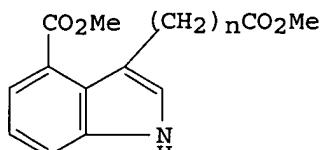
DOCUMENT TYPE: CODEN: JOCEAH; ISSN: 0022-3263

LANGUAGE: Journal English

GI

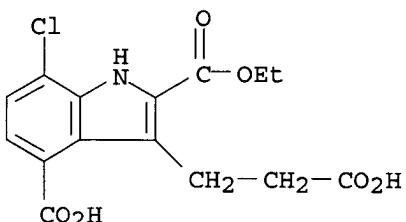


I

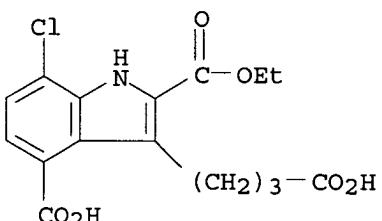


II

AB Preparative methods are described for the synthesis of the tricyclic indolo ketones I ($n = 1, 2$); these compds. are useful intermediates for the construction of ergolines and related ring systems. The synthetic strategy involves a Dieckmann cyclization-decarboxylation sequence from the diesters II ($n = 2, 3$).
IT 36800-68-7P 74724-99-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and dechlorination of)
RN 36800-68-7 CAPLUS
CN 1H-Indole-2,4-dicarboxylic acid, 3-(2-carboxyethyl)-7-chloro-, 2-ethyl ester (9CI) (CA INDEX NAME)



RN 74724-99-5 CAPLUS
CN 1H-Indole-2,4-dicarboxylic acid, 3-(3-carboxypropyl)-7-chloro-, 2-ethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1972:405270 CAPLUS
DOCUMENT NUMBER: 77:5270
TITLE: 1,3,4,5-Tetrahydrobenz[c,d]indoles and related compounds. I. New synthesis of 3,4-dihydrobenz[c,d]indol-5(1H)-one (Uhle's ketone)
AUTHOR(S): Bowman, R. E.; Goodburn, T. G.; Reynolds, A. A.
CORPORATE SOURCE: Res. Dev. Div., Parke Davis and Co., Pontypool, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1972), (9-10), 1121-3
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 77:5270
GI For diagram(s), see printed CA Issue.
AB -Carboxy-2-chlorobenzenediazonium chloride reacted with Et 2-oxocyclopentanecarboxylate followed by hydrolysis to give 1-Et H 2-oxohexanedioate (5-carboxy-2-chlorophenyl)hydrazone (I). Treatment of I

with $\text{BF}_3\cdot\text{AcOH}$ in AcOH at 90° gave 81% 4-carboxy-7-chloro-2-(ethoxycarbonyl)indole-3-propionic acid, which was converted in 67% overall yield to 4-carboxyindole-3-propionic acid (II) by sequential hydrolysis, hydrogenolysis, and thermal decarboxylation. II was readily converted to Uhle's ketone (III) by standard methods.

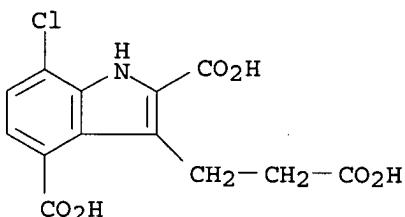
IT 36800-67-6P 36800-68-7P 36800-76-7P

36800-77-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

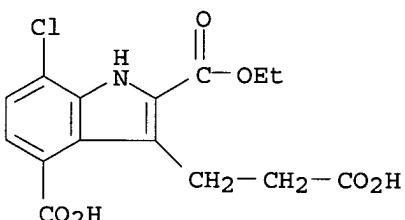
RN 36800-67-6 CAPPLUS

CN 1H-Indole-2,4-dicarboxylic acid, 3-(2-carboxyethyl)-7-chloro- (9CI) (CA INDEX NAME)



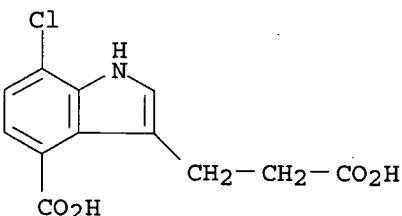
RN 36800-68-7 CAPPLUS

CN 1H-Indole-2,4-dicarboxylic acid, 3-(2-carboxyethyl)-7-chloro-, 2-ethyl ester (9CI) (CA INDEX NAME)



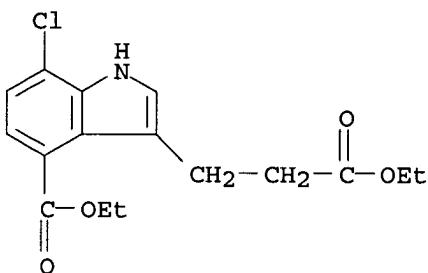
RN 36800-76-7 CAPPLUS

CN 1H-Indole-3-propanoic acid, 4-carboxy-7-chloro- (9CI) (CA INDEX NAME)



RN 36800-77-8 CAPPLUS

CN 1H-Indole-3-propanoic acid, 7-chloro-4-(ethoxycarbonyl)-, ethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1964:38666 CAPLUS

DOCUMENT NUMBER: 60:38666

ORIGINAL REFERENCE NO.: 60:6810b-g

TITLE: Structure of melanins and melanogenesis. III.

Structure of sepiomelanin

AUTHOR(S): Piattelli, M.; Fattorusso, E.; Magno, S.; Nicolaus, R. A.

CORPORATE SOURCE: Univ. Naples

SOURCE: Tetrahedron (1963), 19(12), 2061-72

CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB cf. CA 57, 16532f. Sepiomelanin (I) on alkali fusion gave 5,6-dihydroxyindole (II), 4-methylpyrocatechol (III), 5,6-dihydroxyindole-2-carboxylic acid (IV), pyrrole-2-carboxylic acid (V), pyrrole-3-carboxylic acid (VI), pyrrole-2,4-dicarboxylic acid (VII), pyrrole-2,5-dicarboxylic acid (VIII), and 5,6-dihydroxyindole-4,7-dicarboxylic acid. Similar alkaline fusion of 5,6-dihydroxyindolemelanin gave II, pyrocatechol, V, VI, VII, and VIII. Analogous treatment of 2,2'-dihydroxybiphenyl gave o-HOC₆H₄CO₂H, PhOH, and o-MeC₆H₄OH. Alc. (200 ml.) containing 3 g. 5,6-bis(benzyloxy)indole-2-carboxylic acid (IX) hydrogenated at 95°/100 atmospheric 48 hrs. with 400 mg. 10% Pd-C gave 1.6 g. IV, m. 230° (dilute AcOH). Methylated I(30 g.) oxidized with H₂O₂ in AcOH gave 5-carbomethoxypyrrole-2,3-dicarboxylic acid (X), m. 246-7°, 3-carbomethoxypyrrole-2,5-dicarboxylic acid (XI), m. 249-51° (H₂O), and H₂C(CO₂H)₂, m. 135-6°, by different isolation techniques. The isolation of XI further proved that indole units with a CO₂H group in position 2 are present in I. The presence of these units shows that a carboxylated intermediate, probably dopachrome, partakes in the formation of the polymer. Whether these units retain an aminochrome structure in the polymer or rearrange to units of dihydroxyindole type was determined by preparation of a melanin by enzymic oxidation of

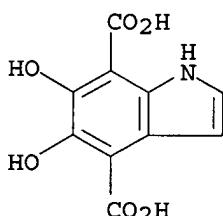
IV with tyrosinase to give melanin(XII). XII (50 mg.) oxidized 10 days at 20° with 3.0 ml. 1:1 AcOH 36% H₂O₂ gave pyrrole-2,3,5-tricarboxylic acid (XIII), pyrrole-2,3,4,5-tetracarboxylic acid (XIV), glycine, and aspartic acid. IX (1 g.) in Et₂O treated with CH₂N₂ in Et₂O gave 2-carbomethoxy-5,6-bis-(benzyloxy) indole, m. 149-50°, which was hydrogenated to 2-carbomethoxy-5,6-dihydroxyindole (XV), m. 255-60°. XV (550 mg.) in 10 ml. 2N K₂CO₃ oxidized with 60 ml. 3% aqueous KMnO₄ gave 30 mg. X, m. 246-7°. A suspension of 100 mg. 2,3,5-tricarbomethoxypyrrole in 9 ml. 0.1N NaOH kept 14 hrs. and the clear solution acidified with concentrated HCl gave 25 mg. XI, m. 249-51° (H₂O), giving a red color with diazotized p-H₂NC₆H₄SO₃H. XII (248 mg.), dried at 80° over P₂O₅ in vacuo 8 hrs., was decarboxylated according to P.

and N. (CA 55, 11433h) to give 64 mg. BaCO₃, equivalent to 5.9% XII. The decarboxylated XII (50 mg.) oxidized with 3% aqueous KMnO₄ gave XIII and XIV. Titration of the CO₂H groups of XII gave a neutralization equivalent 180 [theoretical for (C₉H₃NO₄)_x 189]. Since it has been shown that the CO₂H groups at position 2 and those derived from partial degradation of some indole nuclei during melanogenesis are eliminated by heating I, it was assumed that in the natural pigment the carboxylated units have a dopachrome structure. I oxidized with H₂O₂AcOH gave cysteic acid, taurine, aspartic acid, and glycine. The presence of cysteic acid shows that the bond between the prosthetic part and the protein in sepiomelanoprotein is effected by the intervention of the SH groups of cysteine mols. Taurine is probably an artifact originating by decarboxylation of cysteine residues. Aspartic acid and glycine may be derived from the nonprotein moiety of the pigment since they also were obtained by H₂O₂-AcOH oxidation of IV.

IT 90800-62-7, Indole-4,7-dicarboxylic acid, 5,6-dihydroxy-
(from sepiomelanin decomposition)

RN 90800-62-7 CAPLUS

CN Indole-4,7-dicarboxylic acid, 5,6-dihydroxy- (7CI) (CA INDEX NAME)



L5 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2005 ACS on STM

ACCESSION NUMBER: 1963:59659 CAPLUS

DOCUMENT NUMBER: 58:59659

ORIGINAL REFERENCE NO.: 58:10167d-f

TITLE: Addition reactions of heterocyclic compounds. XIV. The pyrolysis and hydrolysis of tetramethyl 3a,7a-dihydro-1-methylindole-2,3,3a,4-tetracarboxylate

Acheson, R. M.; Vernon, J. M.

Univ. Oxford, UK

SOURCE: Journal of the Chemical Society, Abstracts (1963) 1907-13

CODEN: JCSAAZ; ISSN: 0590-9791

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

AB Pyrolysis of III with Pd-C gave trimethyl 1-methylindole-2,3,4-tricarboxylate (IV) and V, the latter through a 1,2-shift of the angular ester group; pyrolysis in Ph₂O gave tetramethyl 1-methylindole-2,3,6,7-tetracarboxylate and trimethyl 1-methylpyrrole-2,3,4-tricarboxylate. Alkaline hydrolysis of III and treatment with CH₂N₂ gave trimethyl 6,7-dihydro-1-methylindole-2,3,4-tricarboxylate which was oxidized to (IV) and with dimethyl acetylenedicarboxylate gave a mixture of 1-methylindoletetra- and pentacarboxylic esters.

IT 95428-37-8, Indole-2,3,4,6,7-pentacarboxylic acid, 1-methyl-, pentamethyl ester
(preparation of)

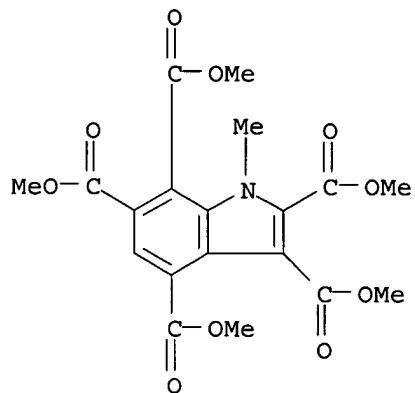
RN 95428-37-8 CAPLUS

CN Indole-2,3,4,6,7-pentacarboxylic acid, 1-methyl-, pentamethyl ester (7CI)

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(CA INDEX NAME)



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COST IN U.S. DOLLARS

| SINCE FILE
ENTRY | TOTAL
SESSION |
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| 103.39 | 264.93 |

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

| SINCE FILE
ENTRY | TOTAL
SESSION |
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| -14.60 | -14.60 |

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